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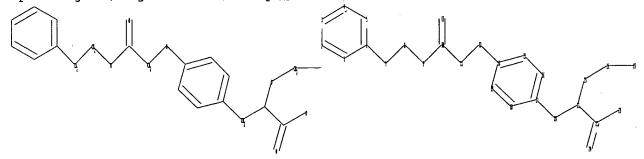
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L1 SCREEN CREATED

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ring nodes :
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chain bonds :
6-7 7-8 8-9 9-10 10-11 10-13 11-12 12-14 17-20 20-21 21-22 21-25 22-23
22-24 25-26 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
9-10 10-13 12-14 21-25 22-23 22-24
exact bonds :
6-7 7-8 8-9 10-11 11-12 17-20 20-21 21-22 25-26 26-27
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19

Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom
27:Atom

L2 STRUCTURE UPLOADED

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=> d L1

L1 HAS NO ANSWERS

L1 SCR 1006

=> d L2 L2 HAS NO ANSWERS L2 STR

Structure attributes must be viewed using STN Express query preparation.

=> s L2 full

FULL SEARCH INITIATED 14:15:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 716 TO ITERATE

100.0% PROCESSED 716 ITERATIONS

SEARCH TIME: 00.00.01

L4 19 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

172.10

19 ANSWERS

172.31

FULL ESTIMATED COST

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L5 9 L4

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L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:61504 CAPLUS

DN 146:142376

TI Preparation of phenylpropionic acid derivatives and pharmaceutical compositions thereof

IN Bjoerk, Seth

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 57pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.						KIND DATE				APPL:	ICAT:	DATE					
PI	PI WO 2007008156					A1 20070118			1	WO 2	006-	20060710						
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US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRAI SE 2005-1644

A 20050711
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The title phenylpropionic acid derivs. I [wherein n = 1-2; R1 = H, C1, CF3, or OCF3; R2 = H or F; R3 = alkyl] or tert-butylamine salts thereof were prepared as PPAR active compds. for treatment of metabolic syndrome including type 2 diabetes mellitus (no data). For example, II and II-tert-butylamine were prepared in a multi-step synthesis. Pharmaceutical compns. were described.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS ON STN
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AN 2006:605020 CAPLUS

DN 145:83115

Preparation of tris(hydroxymethyl)methylamine and ethanolamine salts of (2S)-2-ethoxy-3-(4-{2-[hexyl(2-phenylethyl)amino]-2-oxoethoxy}phenyl)propanoic acid for treating lipid disorders

IN Booth, Rebecca J.; Dahlstroem, Mikael

PA AstraZeneca AB, Swed.

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	CNT	1											•						
	PAT	ENT 1	NO.			KIND I		DATE		APPLICATION NO.						DATE			
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PI	WO :	2006	0652	14		Al 20060622			1	WO 2005-SE1916						20051214			
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KG, KZ, MD, RU, TJ, TM PRAI SE 2004-3072 Α 20041216

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The invention relates to a compound selected from one or more of the AB following: a tris(hydroxymethyl) methylamine salt or an ethanolamine salt of title compound I or a pharmaceutical composition comprising the compound Thus I

was prepared in 4 steps from Et (S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate, benzyl bromoacetate, and N-hexyl-2-phenylethylamine. X-ray powder diffration patterns for bot salts of I are given. Both salts have an EC50 of less than 0.5 μ mol/l for PPAR α .

Ι

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 2 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN L5

2005:1335635 CAPLUS ΑN

DN 144:69628

Preparation of phenoxyacetamide derivatives as modulators of peroxisome TI proliferator-activated receptors (PPAR)

Alstermark, Eva-Lotte Lindstedt; Olsson, Anna Christina; Li, Lanna IN

PΑ

U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. Ser. No. 499,261. SO CODEN: USXXCO

DTPatent

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ΡI	US	2005	22		A1		20051222 US 2004-26806								20041230				
	WO	20030	0518	21		A1	20030626			,	WO 20	002-0	GB57	20021218					
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     EP 2004-740044
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     JP 2006-515989
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                                    20040617
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     MARPAT 144:69628
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$$R^{5}$$
 R^{6}
 X^{Y}
 A

The phenyl-, phenoxy-, or phenylthioalkanamidetitle compds., (in particular phenoxyacetamide derivs.) (I) [A is situated in the ortho, meta or para position and represents CR3R4CR1R2COR, CR3:CR1COR (wherein R = H, alkyl, (un)substituted HO or NH2; R1 = alkyl, aryl, alkenyl, alkynyl, or when A is CR3R4CR1R2COR, R1 can also be cyano, (un)substituted HO, SH, OCONH2, SO2NH2, CO2H, etc.; R2 = H, halogen, alkyl, aryl, alkylaryl; R3, R4 = H, alkyl, aryl, alkylaryl); Y = O, S, a single bond; n = an integer of 1-4; X = alkyl; R5, R6 = H, each (un)substituted C1-13 alkyl, C2-10 alkenyl, or C2-10 alkynyl; or R5, R6 = each (un)substituted C3-8

cycloalkyl, C3-C8 cycloalkenyl, aryl, heterocyclyl, or heteroaryl; or R5 and R6 together with the nitrogen atom to which they are attached form a single or a fused heterocyclic system] are prepared These compds. are useful in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, and other manifestations of the metabolic syndrome. Thus, a solution of 0.598 g N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine and 0.593 g [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid in 20 mL CH2Cl2 was treated with 0.80 mL N, N-diisopropylethylamine and 0.674 g O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate and the reaction mixture was stirred at room temperature overnight to give, after workup and silica gel chromatog., 74% Et (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate (II). A solution of 0.748 g II in 70 mL MeCN was treated with 35 mL 0.10 M LiOH and the reaction mixture was stirred at room temperature overnight, neutralized with 5% HCl, concentrated, acidified with 5% HCl, and extracted

with

EtOAc to give 97% (2S)-3-[4-[2-[butyl[2-fluoro-4-(trifluoromethyl)benzyl]amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid (III). III showed EC50 of 0.001 μ mol/L for human PPAr α .

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ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L5
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- 2004:1154649 CAPLUS AN
- 142:93514 DN
- Preparation of phenylpropanoic acid derivatives as PPAR α agonists ΤI
- Li, Lanna; Lindstedt-Alstermark, Eva-Lotte; Olsson, Christina IN
- Astrazeneca Ab, Swed. PΑ

SE 2001-4334

- PCT Int. Appl., 100 pp. SO CODEN: PIXXD2
- DTPatent
- LA English

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ΡI		2004113270			WO 2004-EP6597	20040617
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	US	2005282822	Al	20051222		20041230
	NO		A		NO 2005-5892	
	JP	2006298925	Α	20061102	JP 2006-139673	
	US	2006258866	A1	20061116	US 2006-477168	20060628
PRAI	GB	2003-14079	A	20030618		

20011219

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os GI

Title compds. represented by the formula I [wherein A = CR3(R4)CR1(R2)COR AΒ or C(R3):C(R1)COR; R = H, alkoxy, (alkyl)aryloxy, amino, etc.; R1 = alkyl, aryl, alkenyl, alkynyl, etc.; R2 = H, halo, alkyl, (alkyl)aryl; R3, R4 = independently H, alkyl, (alkyl)aryl; T = O, S or a single bond; n = 1-4; R5, R6 = independently selected substituent comprising C, H, N, O, S, Se, P or halo; with provisos; optical isomers and racemates thereof as well as pharmaceutically acceptable salts, prodrugs, solvates and crystalline forms thereof] were prepared as PPAR α agonists. For example, II was given in a multi-step synthesis starting from the reaction of 2,4-difluorobenzylamine with octanoic acid. I had EC50 values of less than 0.1 μ mil/L for PPAR α and showed the ration of the EC50(PPAR γ) with EC50(PPAR α) is greater than 150:1. Thus, I and their pharmaceutical compns. are useful for the treatment of clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance (no data).

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ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L5
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2004:1127321 CAPLUS ИA

142:49239 DN

Pharmaceutically useful salts (2S)-2-ethoxy-3-(4-{2[hexyl(2phenylethyl)amino]-2-oxoethoxy}phenyl)propanoic acid, preparation thereof, and therapeutic use

Ragnar, Ralf; Stahle, Erica IN

Astrazeneca AB, Swed. PA

PCT Int. Appl., 38 pp. SO

CODEN: PIXXD2

DT Patent

LA English

FA

FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2004110985	A1	20041223	WO 2004-SE965	20040616

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                                  20030618
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     WO 2004-SE965
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                                  20040616
     The invention discloses a calcium or magnesium salt of
     (2S)-2-ethoxy-3-(4-{2[hexyl(2-phenylethyl)amino]-2-
     oxoethoxy}phenyl)propanoic acid. Compds. of the invention (preparation
     included) may be used to treat e.g. dyslipidemia and type 2 diabetes.
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L_5
     2004:1127320 CAPLUS
AN
DN
     142:49238
     Pharmaceutically useful salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-
TI
     phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid, their preparation,
     and their therapeutic use
     Aurell, Carl-Johan; Dahlstroem, Mikael; Lindstedt-Alstermark, Eva-Lotte;
IN
     Minidis, Anna; Ohlsson, Bengt; Stahle, Erica
PA
     Astrazeneca AB, Swed.
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
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     Patent
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     English
FAN.CNT 1
                                              APPLICATION NO.
                                                                       DATE
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     US 2006142389
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PRAI GB 2003-14129
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     WO 2004-SE964
     The invention discloses salts of (2S)-2-ethoxy-3-[4-(2-(hexyl(2-
AB
     phenylethyl)amino)-2-oxoethoxy)phenyl]propanoic acid e.g. the L-arginine
     salt. Preparation of compds. of the invention is described. The compds. of
     the invention are useful in the treatment of e.g. dyslipidemias and other
     manifestations of the metabolic syndrome.
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 3
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L5
     2004:1127318 CAPLUS
AN
DN
     142:56001
     Preparation of (2S)-3-(4-{2-[amino]-2-oxoethoxy}phenyl)-2-ethoxypropanoic
TT
     acid derivatives
     Aurell, Carl-Johan; Macedo, Emmanuel; Minidis, Anna; Yousefi-Salakdeh,
IN
     Esmail
     Astrazeneca Ab, Swed.
PA
     PCT Int. Appl., 16 pp.
SO
     CODEN: PIXXD2
DT
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     English
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                                             APPLICATION NO.
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
         TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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PRAI GB 2003-14134
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     MARPAT 142:56001
OS
GI
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The present invention provides a process for preparation of the title compds. I AB (R = H, R1 = n-C6H13) by reacting I (R = H, or protecting group, R1 = H)with C6H13X (X = leaving group) in the presence of a base and inert solvent at a temperature in the range -25°C to 150°C and optionally, when OR represents a protecting group, removal of the protecting group.

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L5
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2004:2837 CAPLUS ΑN

140:59411 DN

Preparation of phenoxyalkanamides as amide linker peroxisome proliferator TI activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X

Ferritto Crespo, Rafael; Martin, Jose Alfredo; Martin-Ortega, Finger Maria IN Dolores; Rojo Garcia, Isabel; Shen, Quanrong; Warshawsky, Alan M.; Xu, Yanping

PAEli Lilly and Company, USA

PCT Int. Appl., 168 pp. SO

CODEN: PIXXD2

DTPatent

English LA

FAN.CNT 1 PATENT NO.								APPLICATION NO.							DATE				
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		1517	882			A1		2005	0330	EP 2003-731326						20030611			
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	JΡ	2005	5299	75		T		2005	1006		JP 2	004-	5157	00		2	0030	511	
	US	2006	1114	06		A1		2006	0525		US 2	004-	5175	81		20041208			
PRAI		2002																	
	WO	2003	-US1	6207		W		2003	0611										
os	MAI	RPAT	140:	5941	1														
GI																			

The present invention is directed to phenoxyalkanamides (shown as I; AB variables defined below; e.g. II), compns., and their use as peroxisome proliferator activated receptor agonists for treating and/or preventing diabetes mellitus and syndrome X. The binding and cotransfection efficacy values found for compds. of this invention that are useful for modulating a PPAR α receptor are about <100 nM and >50%, resp. Although the methods of preparation are not claimed, .apprx.140 example prepns. of I are included. For example, II was prepared in 3 steps starting from (2S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Me ester, (2S)-2-hydroxypropionic acid benzyl ester and involving intermediates (2S)-3-[4-[[(1R)-1-[(benzyloxy)carbonyl]ethyl]oxy]phenyl]-2ethoxypropionic acid Et ester and (2S)-3-[4-[((1R)-1carboxyethyl)oxy]phenyl]-2-ethoxypropionic acid. For I: R1 = H, C1-C8 alkyl, C3-C6 cycloalkyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, aminoC1-C4alkyl, C3-C6 cycloalkylaryl-C0-2-alkyl, arylheteroC1-C8alkyl, -CHC(O)C1-C4 alkoxy, C0-4-alkyl-C(O)heteroC1-C8alkyl, and -CH2C(O)-R15R16. R2 = C1-C8 alkyl, C3-C6 cycloalkyl, aryl-C0-C4-alkyl, heteroaryl-C0-C4alkyl, heteroC1-C6cycloalkylaryl, heteroC1-C6cycloalkylarylC1-C4alkyl, aminoCl-C4alkyl, C3-C6 cycloalkylaryl-C0-C2-alkyl, arylheteroC1-C8alkyl, C0-C4-alkyl-C(O)heteroC1-C8alkyl, -CH(C(O)OCH3)benzyl, and -CH2C(O)R15''R16''. R1 and R2 together may form a heterocyclic ring which heterocyclic ring is (un) substituted with 1-3 substituents R1' and which heterocyclic ring is optionally fused with an aryl; E = C(R3)(R4)A, (CH2) nCOOR13, aryl-C0-C4-alkyl, thio-C1-C4-alkyl, thioaryl, arylC1-C4alkoxy, C1-C4alkoxy C1-C4alkyl, aminoaryl, and aminoC1-C4alkyl. R5 and R6 = H, C1-C8 alkyl, aryl-C0-C4-alkyl, heteroaryl-C0-C4-alkyl, C3-C6 cycloalkyl, aryl-C0-C2-alkyl, C3-C6 cycloalkyl-C0-2-alkyl, and -CH2C(O)R17R18.

II

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L5
     2003:491168 CAPLUS
ΑN
DN
     Preparation of substituted phenylpropionic acid derivatives as agonists to
ΤI
     human peroxisome proliferator-activated receptor alpha (PPAR)
     Alstermark Lindstedt, Eva-Lotte; Olsson, Anna Christina; Li, Lanna
IN
     Astrazeneca AB, Swed.; Astrazeneca UK Limited
PΑ
SO
     PCT Int. Appl., 40 pp.
     CODEN: PIXXD2
DT
     Patent
     English
FAN.CNT 5
                                             APPLICATION NO.
                         KIND
                                DATE
     PATENT NO.
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PI WO 2003051821 A1 20030626 WO 2002-GB5738 20021218 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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$$\begin{array}{c} \text{OEt} \\ \text{Ph-} \left[\begin{array}{c} \text{CH}_2 \\ \end{array} \right] \\ \text{N-} \\ \text{CO-} \\ \text{CH}_2 - \text{O} \end{array} \\ \begin{array}{c} \text{OEt} \\ \\ \text{CH}_2 - \text{CH-} \\ \text{CO}_2 \\ \text{H} \end{array} \\ \end{array}$$

The S enantiomer of I, n = 1 or 2, (C6H13 = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4{2-[benzyl(hexyl)amino]-2-oxoethoxy}phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> s (2S)-2-ethoxy-3-(4-{2-oxo-2-[(2-phenylethyl)amino]ethoxy}phenyl)-propanoic acid
MISSING TERM BEFORE '(2S'
Search expressions cannot begin with operators.
=> s 2-ethoxy-3-(4-{2-oxo-2-[(2-phenylethyl)amino]ethoxy}phenyl)propanoic acid
MISSING OPERATOR '-ETHOXY-3-(4-{2-OXO-2'
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
=> s phenethylamino ethoxy phenyl propanoic acid derivatives
           838 PHENETHYLAMINO
         42317 ETHOXY
        343103 PHENYL
           414 PHENYLS
        343381 PHENYL
                 (PHENYL OR PHENYLS)
       1309164 PH
         10070 PHS
       1313504 PH
                 (PH OR PHS)
       1566052 PHENYL
                 (PHENYL OR PH)
          8991 PROPANOIC
       4311309 ACID
       1568117 ACIDS
       4812460 ACID
                 (ACID OR ACIDS)
        340439 DERIVATIVES
       1134482 DERIVS
       1240054 DERIVATIVES
                  (DERIVATIVES OR DERIVS)
             O PHENETHYLAMINO ETHOXY PHENYL PROPANOIC ACID DERIVATIVES
L6
                 (PHENETHYLAMINO(W)ETHOXY(W)PHENYL(W)PROPANOIC(W)ACID(W)DERIVAT
                 IVES)
=>
---Logging off of STN---
Executing the logoff script...
=> LOG Y
                                                   SINCE FILE
                                                                   TOTAL
COST IN U.S. DOLLARS
                                                        ENTRY
                                                                 SESSION
                                                        41.29
                                                                  213.60
FULL ESTIMATED COST
                                                                   TOTAL
                                                  SINCE FILE
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
                                                        ENTRY
                                                                 SESSION
                                                         -7.02
                                                                    -7.02
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STN INTERNATIONAL LOGOFF AT 14:20:59 ON 09 FEB 2007